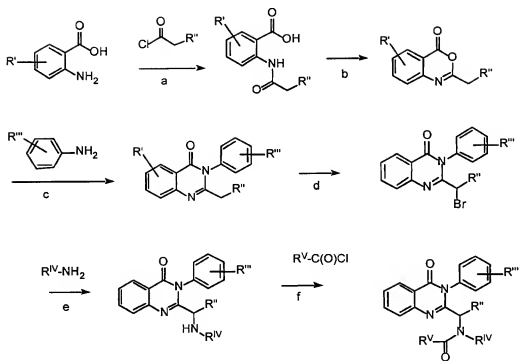
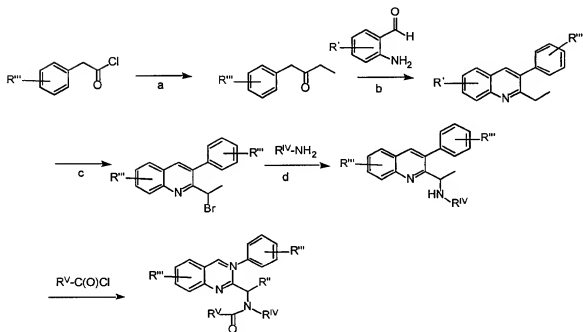


FIG. 1



(a) DMF, RT (b) AcO_2 , 118-130°C (c) i. $CHCl_3$, 80°C; ii. cat. NaOH, ethylene glycol, 130°C
(d) Br_2 , NaOAc, HOAc, 40°C (e) EtOH, 80°C (f) NEt_3 , cat. DMAP, 1,4-dioxane

FIG. 2



a Et_2Zn , $AlCl_3$, CH_2Cl_2 , -30°C- rt. b R'substituted-o-aminobenzaldehyde, 33% KOH, EtOH. c Br_2 , NaOAc, HOAc. d EtOH, 80°C.

FIG. 3

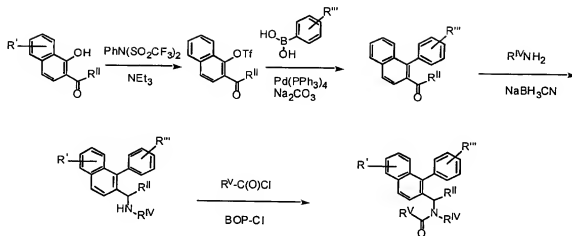
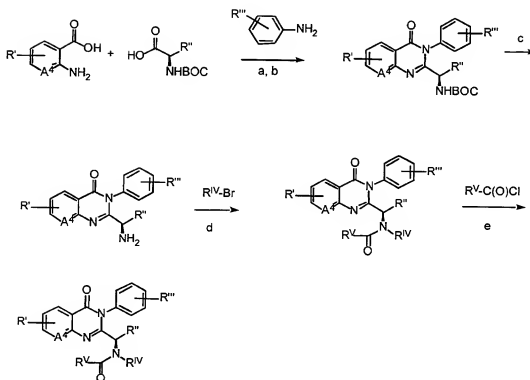


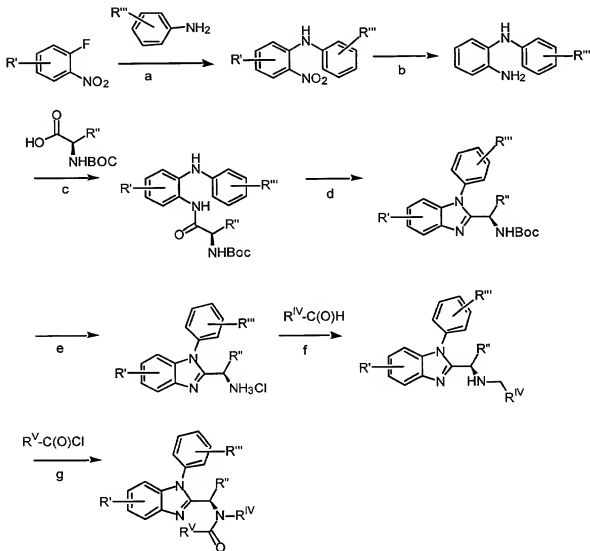
FIG. 4



a. $\text{P}(\text{OPh})_3$, pyridine, 55 °C, 14 h; b. R^{III} substituted aniline, 55 °C, 1 h;
c. TMSI, MeCN, 25 °C, 1 h; d. KI, K_2CO_3 , DMPU; e. EDC, HOBT,
 CH_2Cl_2
A⁴=C or N

FIG. 5

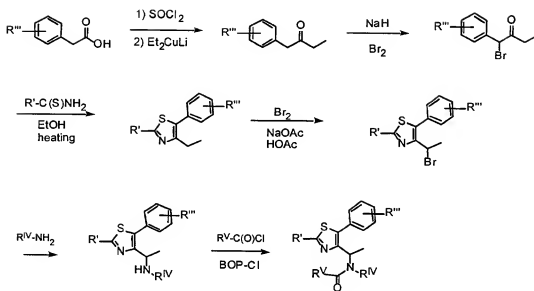
Scheme for the generic synthesis of benzimidazoles



a K_2CO_3 , DMF, $125^\circ C$, 16h. b H_2 , Pd/C, rt. c D- Boc-Ala-OH, EDC, HOBT, NMM, DMF, $90^\circ C$. d 4M HCl in dioxane, EtOAc, rt. f $NaBH_3CN$, MeOH, rt. g Bop-Cl, Et_3N , THF, rt.

FIG. 6

Part A



Part B

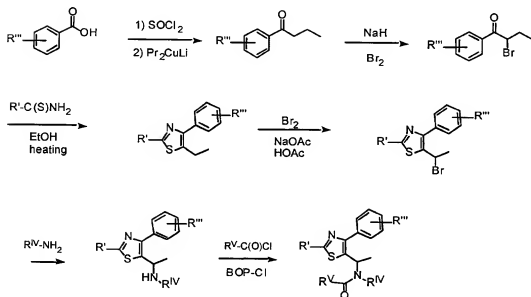


FIG. 7

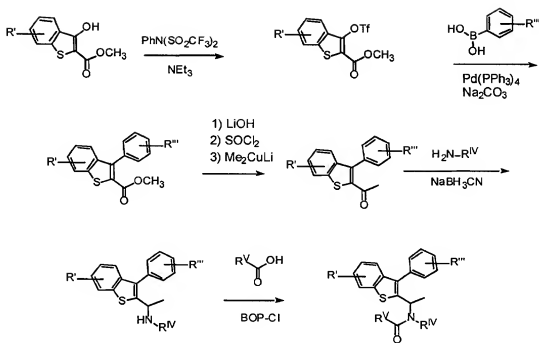


FIG. 8

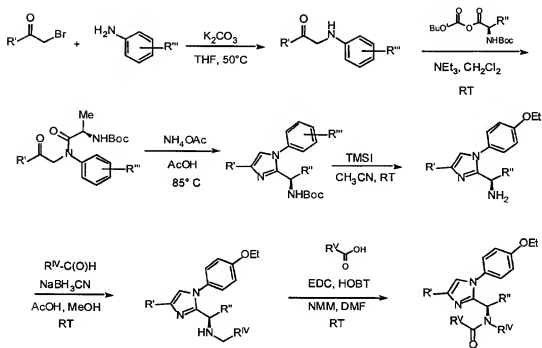


FIG. 9

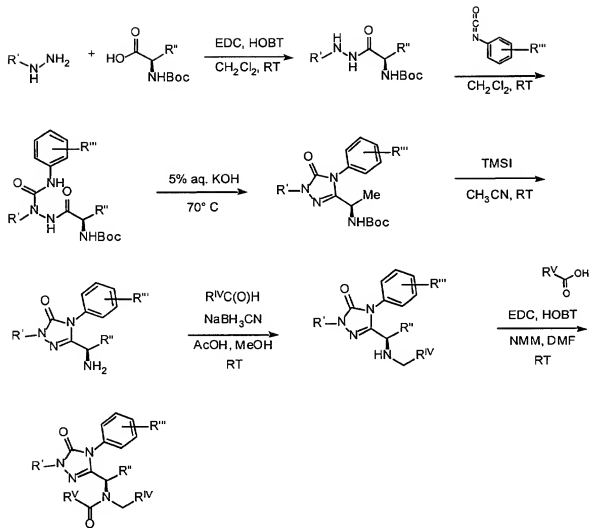
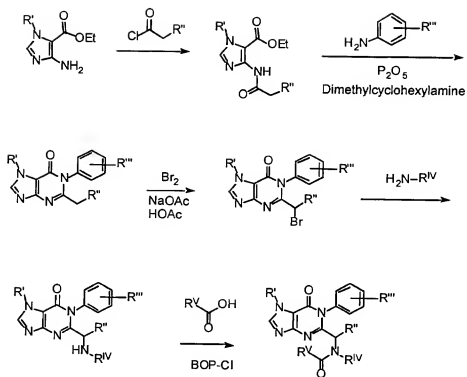


FIG. 10



Ref. Nielsen, F.E.; Pedersen, E.B. Tetrahedron, 1982, 38,

FIG. 11

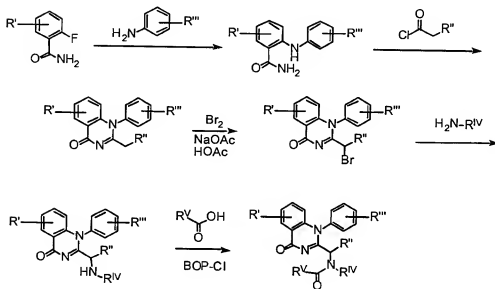


FIG. 12

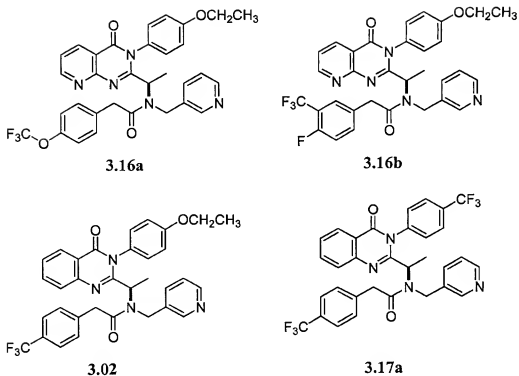


FIG. 13

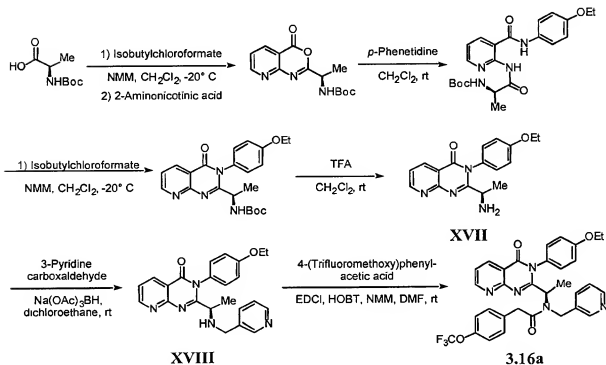


FIG. 14

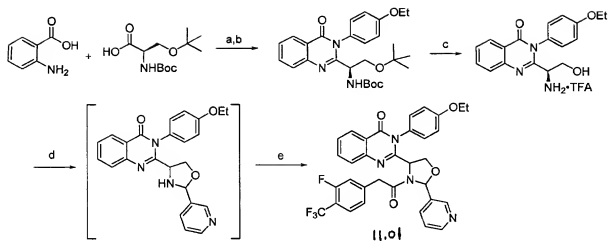


FIG. 15

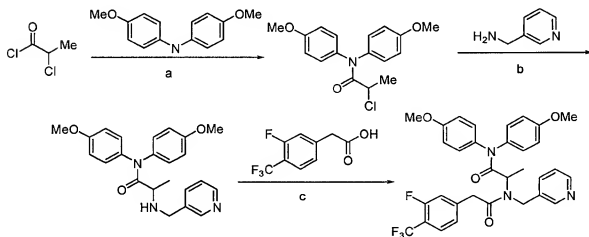
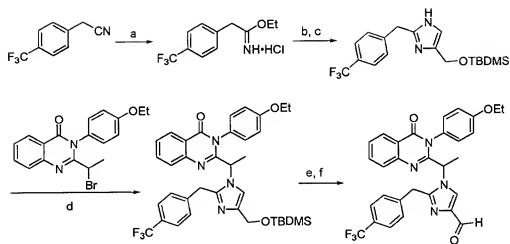


FIG. 16



a. HCl (gas), EtOH; b. NH₃, EtOH; c. TBDMSCl, Imidazole, DMF; d. K₂CO₃, DMF, 50°C; e. HCl (conc.), EtOH; f. MnO₂, DCM.

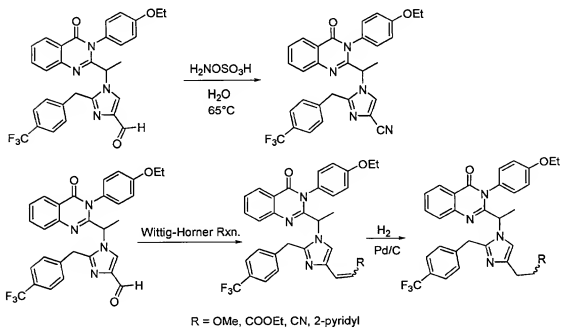


FIG. 17

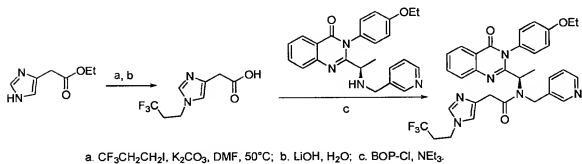


FIG. 18

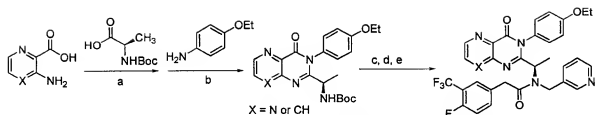


FIG. 18

FIGURE 19

Table

CXCR3 binding assay IC50≥10μM=X; 10μM>IC50≥1μM=XX; IC50<1μM=XXX

Compound	IC50
1.01	XX
1.02	XXX
1.03	XXX
1.04	XX
1.05	XXX
1.06	X
1.07	XXX
1.08	XXX
1.09	X
1.1	XXX
1.11	X
1.12	XX
1.13	XX
1.14	XX
1.15	XX
1.16	XXX
1.17	XXX
1.18	XXX
1.19	XXX
1.2	XX
1.21	XXX
1.22	XXX
1.23	XXX
1.24	XXX
1.25	XXX
1.26	XXX
1.27	XXX
1.28	XX
1.29	XXX
1.3	XXX
1.31	XX
1.32	XXX
1.33	XX
1.34	XXX
1.35	XX
1.36	XX
1.37	XX
1.38	XX
1.39	XXX
1.4	XX
1.42	XX
1.43	XXX
1.44	X
1.45	X

Compound	IC50
1.47	XX
1.48	XXX
1.49	XXX
1.5	XX
1.51	X
1.53	XXX
1.54	XXX
1.55	X
2.01	XXX
2.02	XXX
2.03	XX
2.04	XX
2.05	XXX
2.06	XXX
2.07	XXX
2.08	XXX
2.09	XXX
2.1	XXX
2.11	XXX
2.12	XXX
3.01	XXX
3.02	XXX
3.03	XXX
3.04	XXX
3.05	XXX
3.06	XXX
3.07	XXX
3.08	XXX
3.09	XXX
3.1	XXX
3.11	XXX
3.12	XXX
3.13	XXX
3.14	XXX
3.15	XX
3.16	X

Compound	IC50
4.01	XXX
4.03	X
5.01	X
6.01	XXX
6.02	XX
7.01	XX
8.01	X
9.01	XXX
9.02	XXX
9.03	XXX
9.04	XXX
9.05	XXX
9.06	XXX
9.07	XXX
9.08	XXX
9.09	X
9.10	
10.01	XXX
10.02	XX
10.03	XXX
10.04	XXX
10.05	XXX